

10/550621

Connecting via Winsock to STN

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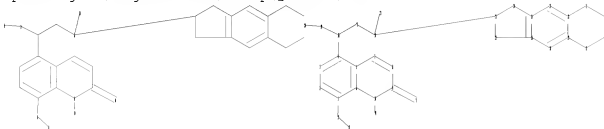
\*\*\*\*\* STN Columbus \*\*\*\*\*

FILE 'HOME' ENTERED AT 13:47:01 ON 22 SEP 2008

=> file reg

=>

Uploading C:\Program Files\Stnexp\Queries\550.str



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chain nodes :
11 12 13 14 16 17 18 19 20 21 31 32 33 34
ring nodes :
1 2 3 4 5 6 7 8 9 10 22 23 24 25 26 27 28 29 30
chain bonds :
1-12 4-16 9-11 10-14 12-13 16-17 16-19 17-18 18-21 18-23 19-20 28-31
29-33 31-32 33-34
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 22-23 22-26 23-24 24-25
25-26 25-27 26-30 27-28 28-29 29-30
exact/norm bonds :
1-12 5-7 6-10 7-8 8-9 9-10 9-11 16-19 17-18 18-23 22-23 22-26 23-24
24-25
exact bonds :
4-16 10-14 12-13 16-17 18-21 19-20 28-31 29-33 31-32 33-34
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 25-26 25-27 26-30 27-28 28-29 29-30
isolated ring systems :
containing 1 :
```

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
 11:CLASS 12:CLASS 13:CLASS 14:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS  
 20:CLASS 21:CLASS 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom  
 29:Atom 30:Atom 31:CLASS 32:CLASS 33:CLASS 34:CLASS

L1 STRUCTURE UPLOADED

=>

=> d l1

L1 HAS NO ANSWERS

L1 STR

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

Structure attributes must be viewed using STN Express query preparation.

=> s l1 full

L3 39 SEA SSS FUL L1

=> file ca

=> s l3

L4 54 L3

=> s l3/p

L5 10 L3/P

=> d ibib abs fh1tstr 1-10

L5 ANSWER 1 OF 10 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 148:315167 CA

TITLE: Polymorphic crystal form of a indan-2-ylamino-hydroxyethyl-quinolinone maleate derivative as beta-adrenoceptor agonist

INVENTOR(S): Lohse, Olivier; Monnier, Stephanie; Jordine, Guido

PATENT ASSIGNEE(S): Novartis AG, Switz.

SOURCE: PCT Int. Appl., 25pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008025816	A1	20080306	WO 2007-EP59039	20070830
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN,			

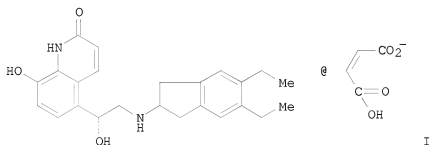
TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW  
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 IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,  
 BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,  
 GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,  
 BY, KG, KZ, MD, RU, TJ, TM  
 EP 1914227 A1 20080423 EP 2006-119895 20060831  
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,  
 BA, HR, MK, RS

PRIORITY APPLN. INFO.:

EP 2006-119895

A 20060831

GI



I

AB New polymorphic crystal form of (R)-5-[2-(5,6-diethyl-indan-2-ylamino)-1-hydroxyethyl]-8-hydroxy-1H-quinolin-2-one maleate (I) designated crystal form Qalpha that is useful in the treatment of inflammatory or obstructive airways diseases are claimed. A method for preparing crystal form Qalpha is also described. Thus, 50 mg (R)-5-[2-(5,6-diethyl-indan-2-ylamino)-1-hydroxyethyl]-8-hydroxy-1H-quinolin-2-one maleate was equilibrated in 1 mixture of 90% ethanol, 5% water, and 5% isopropanol over 3 days at 25 °C. The product was then filtered and dried for 10 min in the air to obtain white crystals.

IT 753498-25-8P

RL: PRP (Properties); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (polymorphic crystal form of indan-2-ylamino-hydroxyethyl-quinolinone maleate derivative as beta-adrenoceptor agonist)

RN 753498-25-8 CA

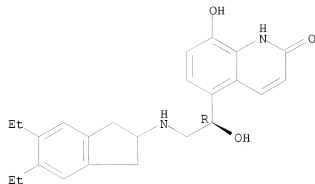
CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy-, (2Z)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 312753-06-3

CMF C24 H28 N2 O3

Absolute stereochemistry.

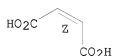


CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 10 CA COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 148:106207 CA  
 TITLE: Quinolinone derivatives in salt or solvate form and their pharmaceutical compositions for treating obstructive airway diseases and inflammation mediated by the  $\beta_2$ -adrenoreceptor  
 INVENTOR(S): Lohse, Olivier; Monnier, Stephanie; Reber, Jean-Louis  
 PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma GmbH  
 SOURCE: PCT Int. Appl., 43pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008000839	A1	20080103	WO 2007-EP56632	20070702
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN,				

TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW  
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
 IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,  
 BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW,  
 GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,  
 BY, KG, KZ, MD, RU, TJ, TM  
 EP 1878722 A1 20080116 EP 2006-117129 20060713  
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,  
 BA, HR, MK, YU  
 PRIORITY APPLN. INFO.: GB 2006-13156 A 20060630  
 GB 2006-13158 A 20060630  
 GB 2006-13159 A 20060630  
 GB 2006-13160 A 20060630  
 EP 2006-117129 A 20060713

OTHER SOURCE(S): MARPAT 148:106207

AB Quinolinone derivative compds. in salt or solvate form are useful for treating diseases mediated by the  $\beta_2$ -adrenoreceptor. Pharmaceutical compns. that contain the compds. and processes for preparing the compds. are also described. Thus, for the preparation of (R)-5-[2-(5,6-diethylindan-2-ylamino)-1-hydroxyethyl]-8-hydroxy-1H-quinolin-2-one hydrogen succinate, suspension of 2.312 g (R)-5-[2-(5,6-diethylindan-2-ylamino)-1-hydroxyethyl]-8-hydroxy-1H-quinolin-2-one base (5.890 mmoles) and 0.695 g succinic acid (5.890 mmoles) in 50 mL isopropanol was heated to 80°C and stirred.

Crystallization took place spontaneously after .apprx.5 min; yield: 2.89 g white powder (96.3%).

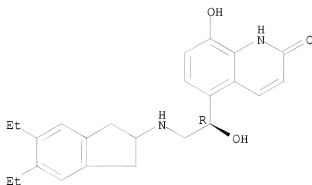
IT 936910-08-6P

RL: PEP (Physical, engineering or chemical process); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)  
 (quinolinone derivs. in salt or solvate form and their pharmaceutical compns. for treating obstructive airway diseases and inflammation mediated by the  $\beta_2$ -adrenoreceptor)

RN 936910-08-6 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 10 CA COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 147:16522 CA  
 TITLE: Combination of  $\beta$ 2-adrenoceptor agonist, glycopyrrolate and antiinflammatory corticosteroid for therapy of inflammatory or obstructive airways diseases  
 INVENTOR(S): Collingwood, Stephen Paul; Haeberlin, Barbara  
 PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma GmbH  
 SOURCE: PCT Int. Appl., 34pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007057221	A2	20070524	WO 2006-EP11113	20061120
WO 2007057221	A3	20071122		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
AU 2006314722	A1	20070524	AU 2006-314722	20061120
CA 2628170	A1	20070524	CA 2006-2628170	20061120
EP 1965792	A2	20080910	EP 2006-818678	20061120

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR  
 IN 2008DN04132 A 20080801 IN 2008-DN4132 20080514  
 MX 200806500 A 20080528 MX 2008-6500 20080520  
 KR 2008069197 A 20080725 KR 2008-711997 20080520  
 PRIORITY APPLN. INFO.: GB 2005-23656 A 20051121  
 WO 2006-EP11113 W 20061120  
 OTHER SOURCE(S): MARPAT 147:16522  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB A medicament comprising, sep. or together, (A) a compound of formula (I; R1 = H, OH, C1-10-alkoxy; R2, R3 = H, C1-10-alkyl; R4-7 = H, halogen, cyano, OH, C1-10-alkoxy, C6-10-aryl, C1-10-alkyl, substituted C1-10-alkyl, C2-10-alkenyl, trialkylsilyl, carboxy, C1-10-alkoxycarbonyl, amido; R4-R5, R5-R6 or R6-R7 together with carbon atoms to which they are attached denote carbocyclic or heterocyclic ring; Rx, Ry = CH2 or (CH2)2; W = II; R8-10 = H, C1-4-alkyl) in free, salt or solvate form, (B) a glycopyrronium salt, and (C) a compound of formula (III; T = monovalent cyclic organic group having 3-15 atoms in the ring system); for simultaneous, sequential or sep. administration in the treatment of an inflammatory or obstructive airways disease is proposed. The proposed medicament may further comprise another drug substance which is an antiinflammatory, a bronchodilator, an antihistamine, a decongestant or an antitussive drug substance. The medicament is in inhalable form, as an aerosol or a dry powder. Medicaments of the invention are advantageous in the treatment, symptomatic or prophylactic, of inflammatory or obstructive airways disease, exhibiting highly effective bronchodilatory and antiinflammatory properties. Thus, gelatin capsules suitable for use in a capsule inhaler were prepared by mixing dry powders of (R)-5-[2-(5,6-diethylindan-2-ylamino)-1-hydroxyethyl]-8-hydroxy-1H-quinolin-2-one maleate (preparation given) 20 parts, 3-[(Cyclopentylhydroxyphenylacetyl)oxy]-1,1-dimethylpyrrolidinium bromide 50 parts, 3-methylthiophene-2-carboxylic acid (6S,9R,10S,1S,13S,16R,17R)-9-chloro-6-fluoro-11-hydroxy-17-methoxycarbonyl-10,13,16-trimethyl-3-oxo-6,7,8,9,10,11,12,13,14,15,16,17-dodecahydro-3H-cyclopenta[a]phenanthren-17-yl ester 50 parts, and lactose monohydrate 19880 parts.

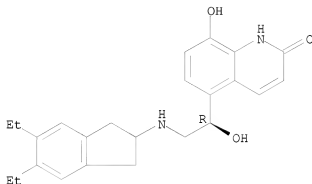
IT 753498-25-8P  
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (combination of  $\beta$ 2-adrenoceptor agonist, glycopyrrolate and antiinflammatory corticosteroid for therapy of inflammatory or obstructive airways diseases)

RN 753498-25-8 CA  
 CN 2(1H)-Quinolinone, 5-[(1R)-2-[5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy-, (2Z)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 312753-06-3  
 CMF C24 H28 N2 O3

Absolute stereochemistry.

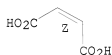


CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



L5 ANSWER 4 OF 10 CA COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 145:368973 CA  
 TITLE: Indacaterol: asthma therapy treatment of COPD  
 β2-adrenoceptor agonist  
 Davies, S. L.; Castaner, J.  
 AUTHOR(S): Prous Science, Barcelona, 08080, Spain  
 CORPORATE SOURCE: Drugs of the Future (2005), 30(12), 1219-1224  
 SOURCE: CODEN: DRFUD4; ISSN: 0377-8282  
 PUBLISHER: Prous Science  
 DOCUMENT TYPE: Journal; General Review  
 LANGUAGE: English

AB A review. The chronic inflammatory syndromes asthma and chronic obstructive pulmonary disease (COPD) are significant causes of morbidity, mortality, increased healthcare costs and hospital admissions. β2-Adrenoceptor agonists are among the first-line therapies for asthma and COPD due to their bronchodilating effects, but currently available therapeutics are associated with a short duration of action and a broad side effect profile. Indacaterol (QAB-149) is currently undergoing phase II development for the treatment of asthma and COPD. Clin. studies have demonstrated that it is well tolerated and associated with improved cardiovascular safety in both patient populations. Furthermore, it is the first β2-adrenoceptor agonist to provide rapid improvements in bronchodilatory control and FEV1, with a sustained (24 h) duration of action. Indacaterol could therefore provide substantial improvement in the life-threatening symptoms of breathlessness and bronchoconstriction



associated with asthma and COPD.

IT 312753-06-3P

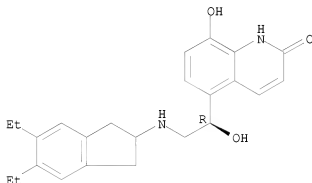
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(QAB-149 rapidly improved bronchodilatory control, FEV1 with sustained duration of action showing it can provide improvement in life-threatening symptoms of breathlessness and bronchoconstriction associated with asthma, COPD in patient)

RN 312753-06-3 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 10 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 144:88180 CA

TITLE: Method for preparing 8-substituted oxy-5-((R)-2-halo-1-hydroxy-ethyl)-(1H)-quinolin-2-ones employing a chiral reduction step  
 INVENTOR(S): Lohse, Olivier; Vogel, Caspar; Abel, Stephan  
 PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma GmbH  
 SOURCE: PCT Int. Appl., 47 pp.  
 CODEN: PIXXD2

DOCUMENT TYPE: Patent  
 LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005123684	A2	20051229	WO 2005-EP6686	20050621
WO 2005123684	A3	20060601		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,				

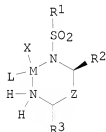
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 EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,  
 RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,  
 MR, NE, SN, TD, TG

AU 2005254698	A1	20051229	AU 2005-254698	20050621
CA 2566388	A1	20051229	CA 2005-2566388	20050621
CN 1968927	A	20070523	CN 2005-80019589	20050621
EP 1791820	A2	20070606	EP 2005-770221	20050621

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
 IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA,  
 HR, LV, MK, YU

JP 2008503526	T	20080207	JP 2007-517180	20050621
BR 2005012298	A	20080325	BR 2005-12298	20050621
IN 2006DN06563	A	20070831	IN 2006-DN6563	20061106
MX 2006PA14695	A	20070212	MX 2006-PA14695	20061214
KR 2007029752	A	20070314	KR 2006-726958	20061221
NO 2007000400	A	20070321	NO 2007-400	20070122
PRIORITY APPLN. INFO.:			GB 2004-13960	A 20040622
			WO 2005-EP6686	W 20050621

OTHER SOURCE(S): CASREACT 144:88180; MARPAT 144:88180  
 GI



- AB A process for preparing 8-substituted oxy-5-((R)-2-halo-1-hydroxy-ethyl)-(1 H)-quinolin-2-ones or acceptable solvates thereof which are useful intermediates from which to prepare 5-[(R)-2-(5,6-diethylindan-2-ylamino)-1-hydroxyethyl]-8-hydroxy-(1H)-quinolin-2-one salts. The process involves reacting a 5-( $\alpha$ -haloacetyl)-8-substituted oxy-(1H)-quinolin-2-one with a reducing agent in the presence of a chiral agent and a base to form a 8-(substituted oxy)-5-((R)-2-halo-1-hydroxy-ethyl)-(1H)-quinolin-2-one, said chiral agent having a formula I [wherein M = Ru, Rh, Ir, Fe, Co, or Ni; L = aryl or arylalkyl; X = H or halo; R1 = alkyl, cycloalkyl, aryl, etc.; R2 and R3 = Ph or together form a cyclohexane or cyclopentane ring; Z = bond or 1,1'-ferrocenediyl].
- IT 435273-74-8P  
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
 (method for producing and manufacturing 8-substituted oxy-5-((R)-2-halo-1-hydroxy-ethyl)-(1 H)-quinolin-2-ones employing a chiral reducing agent for ketone reduction step)
- RN 435273-74-8 CA  
 CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy-, (2Z)-2-butenedioate (1:?) (CA INDEX

10/550621

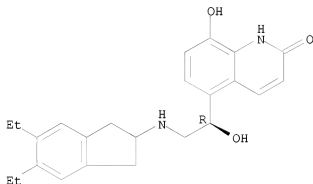
NAME)

CM 1

CRN 312753-06-3

CMF C24 H28 N2 O3

Absolute stereochemistry.

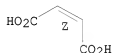


CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



L5 ANSWER 6 OF 10 CA COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 141:332069 CA  
TITLE: Process for preparation of 5-(haloacetyl)-8-hydroxy-(1H)-quinolin-2-one derivatives  
INVENTOR(S): Lohse, Olivier; Penn, Gerhard; Schilling, Hanspeter  
PATENT ASSIGNEE(S): Novartis Ag, Switz.; Novartis Pharma GmbH  
SOURCE: PCT Int. Appl., 42 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087668	A1	20041014	WO 2004-EP3479	20040401
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,				

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BU, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2004226212 A1 20041014 AU 2004-226212 20040401  
 AU 2004226212 B2 20080221  
 CA 2520990 A1 20041014 CA 2004-2520990 20040401  
 EP 1613599 A1 20060111 EP 2004-725035 20040401

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR

BR 2004009154 A 20060328 BR 2004-9154 20040401  
 CN 1774423 A 20060517 CN 2004-80008956 20040401  
 JP 2006522055 T 20060928 JP 2006-504953 20040401  
 NZ 542623 A 20080731 NZ 2004-542623 20040401  
 IN 2005CN02474 A 20070831 IN 2005-CN2474 20050930  
 NO 2005005099 A 20060102 NO 2005-5099 20051101  
 US 20060189653 A1 20060824 US 2005-550621 20051103

PRIORITY APPLN. INFO.: US 2003-459724P P 20030402  
 WO 2004-EP3479 W 20040401

OTHER SOURCE(S): MARPAT 141:332069

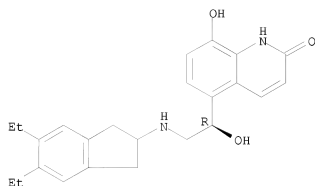
AB This invention pertains to a method for producing 5-( $\alpha$ -haloacetyl)-8-hydroxy-(1H)-quinolin-2-one derivs. The process involves (i) reacting 8-hydroxy-(1H)-quinolin-2-one with an acylating agent and a Lewis acid to form 5-acetyl-8-hydroxy-(1H)-quinolin-2-one; (ii) reacting 5-acetyl-8-hydroxy-(1H)-quinolin-2-one with a compound RL [wherein R is a protecting group and L is a leaving group] in the presence of a base to form 5-acetyl-8-(substituted oxy)-(1H)-quinolin-2-one; and (iii) reacting 5-acetyl-8-(substituted oxy)-(1H)-quinolin-2-one with a halogenating agent to form 5-( $\alpha$ -haloacetyl)-8-(substituted oxy)-(1H)-quinolin-2-one. For example, 8-hydroxy-(1H)-quinolin-2-one was reacted with Ac<sub>2</sub>O in 1,2-dichlorobenzene in the presence of AlCl<sub>3</sub> to give 5-acetyl-8-hydroxy-(1H)-quinolin-2-one (82.0%). The above compound was reacted with PhCH<sub>2</sub>Br in acetone in the presence of diisopropylethylamine to afford 5-acetyl-8-benzyloxy-(1H)-quinolin-2-one (91.7%). The quinolinone obtained was treated with benzyltrimethylammonium dichloriodate in AcOH to provide 5-( $\alpha$ -chloroacetyl)-8-benzyloxy-(1H)-quinolin-2-one.

IT 753498-25-8P  
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of 5-(haloacetyl)-8-hydroxy-(1H)-quinolin-2-one derivs.)  
 RN 753498-25-8 CA  
 CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy-, (2Z)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 312753-06-3  
 CME C24 H28 N2 O3

Absolute stereochemistry.

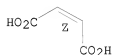


CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.

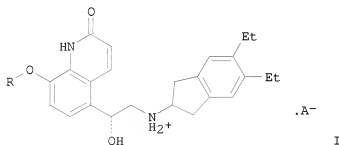


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 10 CA COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 141:260556 CA  
 TITLE: Process for preparing 5-[(R)-2-(5,6-diethylindan-2-ylamino)-1-hydroxyethyl]-8-hydroxy-(1H)-quinolin-2-one salt useful as an adrenoceptor agonist  
 INVENTOR(S): Lohse, Olivier; Vogel, Caspar  
 PATENT ASSIGNEE(S): Novartis Ag, Switz.; Novartis Pharma GmbH  
 SOURCE: PCT Int. Appl., 34 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004076422	A1	20040910	WO 2004-EP1981	20040227
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

AU 2004215647	A1	20040910	AU 2004-215647	20040227
AU 2004215647	B2	20061221		
CA 2517033	A1	20040910	CA 2004-2517033	20040227
EP 1599450	A1	20051130	EP 2004-715306	20040227
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2004007904	A	20060214	BR 2004-7904	20040227
CN 1753874	A	20060329	CN 2004-80005416	20040227
CN 100363349	C	20080123		
JP 2006519206	T	20060824	JP 2006-501972	20040227
NZ 541727	A	20080731	NZ 2004-541727	20040227
RU 2332405	C2	20080827	RU 2005-129547	20040227
ZA 2005006060	A	20060726	ZA 2005-6060	20050728
US 20060252794	A1	20061109	US 2005-546941	20050826
IN 2005CN02065	A	20070831	IN 2005-CN2065	20050826
NO 2005004452	A	20051128	NO 2005-4452	20050926
PRIORITY APPLN. INFO.:			US 2003-450945P	P 20030228
			WO 2004-EP1981	A 20040227
OTHER SOURCE(S):		CASREACT 141:260556;	MARPAT 141:260556	
GI				



AB A process for preparing 5-[(R)-2-(5,6-diethylindan-2-ylamino)-1-hydroxyethyl]-8-hydroxy-(1H)-quinolin-2-one (I) salt. The process involves forming an acid salt of 5-[(R)-2-(5,6-diethylindan-2-ylamino)-1-hydroxyethyl]-8-substituted oxy-(1H)-quinolin-2-one (II; R = a protecting group; A<sup>-</sup> = an anion) and converting the acid salt to a salt of I, i.e. II (R = H), without isolating the free base of I. Thus, 30.89 g 2-amino-5,6-diethylindan was dissolved in diethylene glycol di-Me ether, treated with 36.4 g 8-phenylmethoxy-5-(R)-oxiranyl-1H-quinolin-2-one, stirred at 110° for 15 h, cooled to 70°, treated with 210 mL EtOH and then with a solution of a solution of 30.3 g benzoic acid in 140 mL ethanol, cooled to 45-50°, seeded, cooled to 0-5°, and filtered to give, after recrystn. from EtOH, 5-[(R)-2-(5,6-diethylindan-2-ylamino)-1-hydroxyethyl]-8-phenylmethoxy-(1H)-quinolin-2-one benzoate (III). III (40 g) was hydrogenated over 5% Pd on charcoal (5.44 g) in 400 mL AcOH for 2-8 h, filtered over a pad of filter aid, concentrated at 50-60° under vacuum (100 mbar) to a volume of 70-90 mL, treated with 400 mL EtOH, heated to 50-60°, treated with a solution of 11.6 g maleic acid in 24 mL EtOH, seeded at 50° with a suspension of 350 mg micronized I in 20 mL isopropanol, and allowed to crystallize by slow cooling to 0-5°, and filtered, followed by washing with 50 EtOH and 25 mL isopropanol and

recrystn. from 1.36 L EtOH, 24.3 g I maleate as a white crystalline powder.

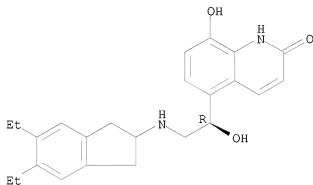
IT 753498-41-8P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (process for preparing 5-[(R)-2-(5,6-diethylindan-2-ylamino)-1-hydroxyethyl]-8-hydroxy-(1H)-quinolin-2-one salt as adrenoceptor agonist)

RN 753498-41-8 CA  
 CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy-, benzoate (1:1) (CA INDEX NAME)

CM 1

CRN 312753-06-3  
 CMF C24 H28 N2 O3

Absolute stereochemistry.



CM 2

CRN 65-85-0  
 CMF C7 H6 O2



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 10 CA COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 139:341650 CA  
 TITLE: Medicaments containing betamimetic drugs and a novel anticholinesterase drug for treating respiratory tract diseases  
 INVENTOR(S): Banholzer, Rolf; Meade, Christopher John Montague; Meissner, Helmut; Morschhaeuser, Gerd; Pairat, Michel;

PATENT ASSIGNEE(S): Pieper, Michael P.; Pohl, Gerald; Reichl, Richard;  
 Speck, Georg; Konetzki, Ingo  
 Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G.,  
 Germany  
 SOURCE: PCT Int. Appl., 45 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003087097	A1	20031023	WO 2003-EP3669	20030409
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RM: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10256317	A1	20031023	DE 2002-10256317	20021203
US 20040010003	A1	20040115	US 2003-395501	20030324
US 7417051	B2	20080826		
CA 2481468	A1	20031023	CA 2003-2481468	20030409
AU 2003232201	A1	20031027	AU 2003-232201	20030409
EP 1497289	A1	20050119	EP 2003-746158	20030409
EP 1497289	B1	20050824		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003009185	A	20050215	BR 2003-9185	20030409
CN 1646527	A	20050727	CN 2003-808330	20030409
AT 302774	T	20050915	AT 2003-746158	20030409
JP 2005529111	T	20050929	JP 2003-584053	20030409
EP 1586574	A1	20051019	EP 2005-10708	20030409
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PT 1497289	T	20051130	PT 2003-746158	20030409
ES 2248767	T3	20060316	ES 2003-746158	20030409
NZ 536337	A	20070531	NZ 2003-536337	20030409
ZA 2004006881	A	20060628	ZA 2004-6881	20040830
NO 2004004107	A	20041104	NO 2004-4107	20040927
IN 2004DN02916	A	20070413	IN 2004-DN2916	20040928
MX 2004PA09916	A	20050503	MX 2004-PA9916	20041008
PRIORITY APPLN. INFO.:				
			DE 2002-10216428	A 20020412
			DE 2002-10256317	A 20021203
			US 2002-386160P	P 20020605
			EP 2003-746158	A3 20030409
			WO 2003-EP3669	W 20030409

OTHER SOURCE(S): MARPAT 139:341650  
 GI



\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention relates to novel medicament compns. based on long-acting  $\beta_2$  agonists and salts I·X- [X = simple anion (Cl, Br, I, sulfate, phosphate, O3SMe, NO3, maleate, OAc, citrate, fumarate, tartrate, oxalate, succinate, O2CPh, OTs)], of a novel anticholinesterase drug I, to methods for the production of these compns. and their use in treating respiratory tract diseases. The invention also relates to the combination of I with one or more biomimetics II [R1, R2 = H, C1-4-alkyl; R3, R4 = H, C1-4-alkyl, O-(C1-4-alkyl), (C1-4-alkylene)-O-(C1-4-alkyl); R3R4 = C1-4-alkylene, O-(C1-4-alkylene)-O], their enantiomers, mixts., racemates, solvates, hydrates or with salmeterol, formoterol or their acid addition salts. Thus, an example inhalation powder formulation comprises I·Br- and II·HO2CCH:CHCO2H-(Z) (R1 = R2 = H, R3 = R4 = Et) and lactose.

IT 614751-12-1P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(betamimetic drug; medicaments containing betamimetic drugs and a novel anticholinesterase drug for treating respiratory tract diseases)

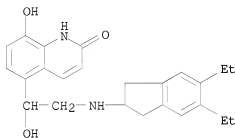
RN 614751-12-1 CA

CN 2(1H)-Quinolinone, 5-[2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy-, (2Z)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 312753-33-6

CMF C24 H28 N2 O3

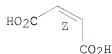


CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 9 OF 10 CA COPYRIGHT 2008 ACS on STN  
 ACCSSION NUMBER: 137:37642 CA  
 TITLE: Preparation and formulation of a quinolinone compound for treatment of airway disorders  
 INVENTOR(S): Cuenoud, Bernard; Fairhurst, Robin Alec; Lowther, Nicholas  
 PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft mbH; Novartis Pharma GmbH  
 SOURCE: PCT Int. Appl., 25 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

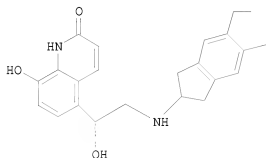
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002045703	A2	20020613	WO 2001-EP14122	20011203
WO 2002045703	A3	20030313		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO, RU, SE, SG, SI, SK, TJ, TM, TR, TT, TZ, UA, US, UZ, VN, YU, ZA, ZW				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2427282	A1	20020613	CA 2001-2427282	20011203
AU 2002017082	A	20020618	AU 2002-17082	20011203
EP 1341542	A2	20030910	EP 2001-999366	20011203
EP 1341542	B1	20070502		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
HU 2003002571	A2	20031128	HU 2003-2571	20011203
HU 2003002571	A3	20050530		
BR 2001015910	A	20040120	BR 2001-15910	20011203
JP 2004514739	T	20040520	JP 2002-547487	20011203
NZ 525731	A	20041126	NZ 2001-525731	20011203
AU 2002217082	B2	20050407	AU 2002-217082	20011203
RU 2292890	C2	20070210	RU 2003-119549	20011203
EP 1772142	A2	20070411	EP 2007-100048	20011203
R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, TR, RO, SI				
AT 361077	T	20070515	AT 2001-999366	20011203
ES 2284732	T3	20071116	ES 2001-999366	20011203
ZA 2003003399	A	20040423	ZA 2003-3399	20030502
IN 2003CN00856	A	20050422	IN 2003-CN856	20030602
NO 2003002510	A	20030603	NO 2003-2510	20030603
MX 2003PA04976	A	20030905	MX 2003-PA4976	20030604
US 20040038951	A1	20040226	US 2003-433546	20030604
US 6800643	B2	20041005		
HK 1059564	A1	20080111	HK 2004-100918	20040211
US 20050009795	A1	20050113	US 2004-911201	20040804
US 7008951	B2	20060307		
US 20060052352	A1	20060309	US 2005-248462	20051012

JP 2007302684  
PRIORITY APPLN. INFO.:

A 20071122

JP 2007-180977 20070710  
GB 2000-29562 A 20001204  
EP 2001-999366 A3 20011203  
JP 2002-547487 A3 20011203  
WO 2001-EP14122 W 20011203  
US 2003-433546 A1 20030604  
US 2004-911201 A3 20040804

OTHER SOURCE(S): MARPAT 137:37642  
GI



I

AB An inhalation composition comprises, sep. or together, (A) a quinolinone compound

(I) in free or pharmaceutically acceptable salt or solvate form and (B) a corticosteroid, useful for simultaneous, sequential or sep. administration in the treatment of an inflammatory or obstructive airway disease. The molar ratio of (A) to (B) is from 100:1 to 1:300. A composition is an aerosol or a dry powder in a capsule. For example, an aerosol formulation was prepared by dispensing 10 parts of micronized I maleate, 10 parts of mometasone furoate, and 100 parts of lactose (bulking agent) into a vial, sealing the vial with a metering valve, injecting the premix of 2500 parts of ethanol, 30,500 parts of propellant HFA134a, 67,000 parts of propellant HFA227, and 0.5 parts of oleic acid (surfactant) into the vial through the valve, and subjecting the vial to ultrasonic energy to disperse the solid particles.

IT 312753-06-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

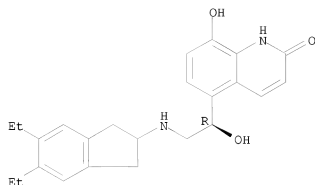
(preparation and quinolinone compound and its formulation with corticosteroid

for treatment of airway disorders)

RN 312753-06-3 CA

CN 2-(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.

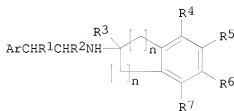


L5 ANSWER 10 OF 10 CA COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 134:42074 CA  
 TITLE: Preparation of indanyl-substituted quinolinone derivatives as  $\beta$ 2-adrenoceptor agonists  
 INVENTOR(S): Cuenoud, Bernard; Bruce, Ian; Fairhurst, Robin Alec; Beattie, David  
 PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.  
 SOURCE: PCT Int. Appl., 61 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000075114	A1	20001214	WO 2000-EP5058	20000602
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
TW 253447	B	20060421	TW 2000-89108928	20000510
CA 2375810	A1	20001214	CA 2000-2375810	20000602
BR 2000011324	A	20020305	BR 2000-11324	20000602
EP 1183240	A1	20020306	EP 2000-935163	20000602
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
TR 200103497	T2	20020521	TR 2001-3497	20000602
HU 2002001658	A2	20020828	HU 2002-1658	20000602
HU 2002001658	A3	20050128		
JP 2003501417	T	20030114	JP 2001-501595	20000602
JP 3785365	B2	20060614		
AU 765919	B2	20031002	AU 2000-50745	20000602
NZ 515669	A	20040130	NZ 2000-515669	20000602

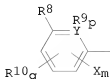
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US 6878721	B1	20050412	US 2002-9008	20020108
US 20050153957	A1	20050714	US 2005-74400	20050307
PRIORITY APPLN. INFO.:			GB 1999-13083	A 19990604
			WO 2000-EP5058	W 20000602
			US 2002-9008	A3 20020108

OTHER SOURCE(S): MARPAT 134:42074  
GI



I

Q=



AB The title compds. I [Ar = Q; R1 = H, OH, alkoxy; R2, R3 = H, alkyl; R4-R7 = H, halo, cyano, aryl, etc.; R8 = halo, OR13, etc.; R9 = H or part of a heterocycle; R10 = OR19, NHR19, etc.; X = halo, halomethyl, alkyl; Y = C, N; n = 1, 2; p = 0, 1; q, m = 0, 1],  $\beta$ 2-adrenoceptor agonists, were prepared. E.g., 5-[2-(5,6-dimethoxyindan-2-ylamino)-1-hydroxyethyl]-8-hydroxy-1H-quinolin-2-one was prepared

IT 312753-06-3P

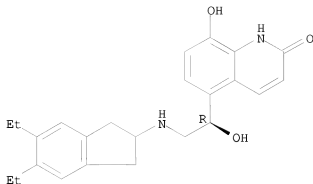
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of indanyl-substituted quinolinone derivs. and related compds. as  $\beta$ 2-adrenoceptor agonists)

RN 312753-06-3 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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(FILE 'HOME' ENTERED AT 13:47:01 ON 22 SEP 2008)

FILE 'REGISTRY' ENTERED AT 13:47:12 ON 22 SEP 2008

L1 STRUCTURE UPLOADED

L2 1 S L1 SAM

L3 39 S L1 FULL

FILE 'CA' ENTERED AT 13:47:38 ON 22 SEP 2008

L4 54 S L3

L5 10 S L3/P

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(FILE 'HOME' ENTERED AT 13:47:01 ON 22 SEP 2008)

FILE 'REGISTRY' ENTERED AT 13:47:12 ON 22 SEP 2008

L1 STRUCTURE UPLOADED

L2 1 S L1 SAM

L3 39 S L1 FULL

FILE 'CA' ENTERED AT 13:47:38 ON 22 SEP 2008

L4 54 S L3

L5 10 S L3/P

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---Logging off of STN---

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Executing the logoff script...

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10/550621

STN INTERNATIONAL LOGOFF AT 13:51:07 ON 22 SEP 2008